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                  resulting in a closer connection to BABS
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                  Patent Office Classifications
NEWS  7   AUG 02  The Analysis Edition of STN Express with Discover!
                  (Version 7.01 for Windows) now available
NEWS  8   AUG 04  Pricing for the Save Answers for SciFinder Wizard within
                  STN Express with Discover! will change September 1, 2004
NEWS  9   AUG 27  BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 10   AUG 27  BIOTECHABS/BIOTECHDS: Two new display fields added for legal
                  status data from INPADOC
NEWS 11   SEP 01  INPADOC: New family current-awareness alert (SDI) available
NEWS 12   SEP 01  New pricing for the Save Answers for SciFinder Wizard within
                  STN Express with Discover!
NEWS 13   SEP 01  New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 14   SEP 14  STN Patent Forum to be held October 13, 2004, in Iselin, NJ
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DICTIONARY FILE UPDATES:  27 SEP 2004   HIGHEST RN 752974-11-1

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L1                STR
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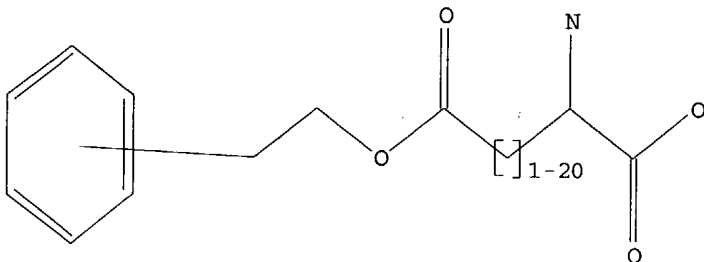
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FULL SEARCH INITIATED 14:37:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 68940 TO ITERATE

100.0% PROCESSED   68940 ITERATIONS   183 ANSWERS
SEARCH TIME: 00.00.02

L2   183 SEA SSS FUL L1

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                                                ENTRY   SESSION
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=> s l2
L3   92 L2

=> s l3 and salt
      714335 SALT
L4   9 L3 AND SALT

=> s l3 and sulfonate
       52599 SULFONATE
L5   0 L3 AND SULFONATE

=> d l4 1-9 sub bib abs
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CAN -------- List of CA abstract numbers without answer numbers
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              e.g., D SCAN or DISPLAY SCAN)
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IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

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              containing hit terms
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              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
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L4   ANSWER 1 OF 9   CA   COPYRIGHT 2004 ACS on STN
AB   The invention relates to a method for the identification of enzymes that
     are preferentially expressed in certain tumor tissue as compared with
     rapidly growing normal cells or tissue and the use of the enzymes to
     design compds. which generate active anticancer substances selectively in
     tumor tissue. Compds. X-Y-Q [X is a pro-moiety that is designed to
     generate an active anticancer substance (Q-Y-H) selectively in tumors by
     the enzymes; Q-Y- is a radical derived from the active anticancer
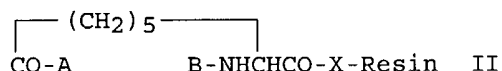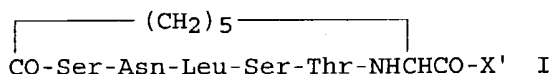   substance in which Y is O, S or N] and their pharmaceutically-acceptable

salts are claimed. Thus, 13α-[(2R,3S)-2-[(5S)-[5-[(2S)-(2-aminopropionyl)amino]-5-hydroxycarbonyl]pentanoyloxy]-3-(benzoylamino)-3-phenylpropionyloxy]-2a-(benzyloxy)-4a,10β-diacetoxy-1β,7β-dihydroxy-5β,20-epoxytax-1-en-9-one formic acid **salt** (I) was prepared by reaction of taxol with (2S)-2-[(2S)-2-(benzyloxycarbonylamino)-3-phenylpropionylamino]hexanedioic acid 1-benzyl ester. Compound I showed cytotoxicity IC50 = 51 nM after 24 h against human colon cancer cell line HCT116.

AN   139:7174 CA
TI   Method for identification of tumor targeting enzymes for design of compounds which generate anticancer substances
IN   Ishitsuka, Hideo; Okabe, Hisafumi; Shimma, Nobuo; Tsukuda, Takuo; Umeda, Isao
PA   F. Hoffmann-La Roche A.-G., Switz.
SO   PCT Int. Appl., 118 pp.
      CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1

PATENT NO.			KIND	DATE	APPLICATION NO.	DATE
PI WO 2003043631			A2	20030530	WO 2002-EP12911	20021118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
    CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
    GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
    LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
    PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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    PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
    NE, SN, TD, TG

US 2003138864	A1	20030724	US 2002-301460	20021121
PRAI EP 2001-127401	A	20011123		
EP 2001-130245	A	20011219		
EP 2002-5298	A	20020312		

OS   MARPAT 139:7174

L4   ANSWER 2 OF 9 CA COPYRIGHT 2004 ACS on STN
AB   Motuporin (I) was prepared by a convergent synthesis in which all stereocenters are derived from common amino acids or from D-mandelic acid; the 3 unusual amino acids in I are all derived from D-threonine.
AN   124:30333 CA
TI   Enantiospecific total synthesis of the protein phosphatase inhibitor motuporin.
AU   Valentekovich, Robert J.; Schreiber, Stuart L.
CS   Department of Chemistry, Harvard University, Cambridge, MA, 02138, USA
SO   Journal of the American Chemical Society (1995), 117(35), 9069-70
      CODEN: JACSAT; ISSN: 0002-7863
PB   American Chemical Society
DT   Journal
LA   English
OS   CASREACT 124:30333

L4   ANSWER 3 OF 9 CA COPYRIGHT 2004 ACS on STN
GI

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```
        ┌──────── (CH2)5 ────────┐
        │                        │
        CO-Ser-Asn-Leu-Ser-Thr-NHCHCO-X'   I
```

```
        ┌── (CH2)5 ──────┐
        │                │
        CO-A      B-NHCHCO-X-Resin   II
```

```
┌──────────────────────────────────────┐
│                                       │
Ser(Bzl)-Asn-Leu-Ser(Bzl)-Thr(Bzl)-Asu-Val-Leu-
```
Gly-Lys(Cl-Z)-Leu-Ser(Bzl)-Gln-Glu(OBzl)-Leu-

His(Tos)-Lys(Cl-Z)-Leu-Gln-Thr(Bzl)-Tyr(Br-Z)-

Pro-Arg(Tos)-Thr(Bzl)-Asp(OBzl)-Val-Gly-Ala-Gly-

Thr(Bzl)-Pro-Resin   IV

AB   Cyclopeptides (I; X1 = OH, NH2, amino acid or peptide residue, wherein
      each amino acid residue may be protected) are prepared by cyclization of
      resin-bound open chain peptides [II; A = Ser(X1)-Asn-Leu-Ser(X1)-Thr(X1)-
      X2, Ser(X1)-Asn-Leu-Ser(X1)-X2, Ser(X1)-Asn-Leu-X2, Ser(X1)-Asn-X2,
      Ser(X1)-X2; B = X3, X3-Thr(X1), X3-Ser(X1)-Thr(X1), X3-Leu-Ser(X1)-
      Thr(X1), X3-Asn-Leu-Ser(X1)-Thr(X1); X1 = H, HO-protective group; X3 = H,
      H2N-protective group; X = direct bond to Resin, amino acid or peptide
      residue; Resin = resin for solid phase reaction] without using protease
      followed by resin cleavage. The cyclization proceeds in high yields
      without side reactions and gives calcitonin derivs., particularly
      elcatonin, in good yields. Thus, 620 mg R-Leu-Ser(Bzl)-Thr(Bzl)-
      Asu[Ser(Bzl)-Asn-OR1]-Val-Leu-Gly-Lys(Cl-Z)-Leu-Ser(Bzl)-Gln-Glu(OBzl)-Leu-
      His(Tos)-Lys(Cl-Z)-Leu-Gln-Thr(Bzl)-Tyr(Br-Z)-Pro-Arg(Tos)-Thr(Bzl)-
      Asp(OBzl)-Val-Gly-Ala-Gly-Thr(Bzl)-Pro-p-methylbenzhydrylamine polystyrene
      resin (III; R = Boc, Asu = 2-aminosuberic acid residue, R1 = CMe3, Bzl =
      CH2Ph, Cl-Z = 2-chlorobenzyloxycarbonyl) (preparation given) was stirred with
      50% CF3CO2H in CH2Cl2 at room temperature for 30 min followed by washing with
      CH2Cl2, 10% (Me2CH)2NEt in DMF, and DMF, III (R = R1 = H) which was added
      to N-methylpyrrolidone and treated with 1-hydroxybenzotriazole monohydrate
      and DCC at room temperature for 24 h to give resin bound protected cyclopeptide
      (IV; Resin = p-methylbenzhydrylamine polystyrene resin). The latter
      peptide was treated with HF(l) and anisole at 0° for 1 h, distilled in
      vacuo, washed with Et2O, and extracted with 1 M aqueous AcOH solution; the
extract was
      lyophilized to give 260 mg crude elcatonin which was purified by ion
      exchange chromatog. and reversed phase HPLC using TSK Gel ODS-120 column
      (Toso Inc., Ltd.) and salt exchange to give elcatonin AcOH
      salt.

L4   ANSWER 4 OF 9 CA COPYRIGHT 2004 ACS on STN

AB   Two approaches to the synthesis of 2'-O-(N-alkylsuccinamoyl) erythromycin derivs. are explored as a means of introducing anionic and cationic functionalities into erythromycin at the 2'-O-position. The phenacyl group was used to protect the anionic functionality during the synthesis of 2'-O-[N-(carboxylatomethyl)succinamoyl] erythromycin and disodium 2'-O-[N-(1,3-dicarboxylatopropyl)succinamoyl] erythromycin, deprotection being achieved using sodium thiophenoxide under anhydrous conditions. 2'-O-(N-[2-Dimethylammonio)ethyl]succinamoyl] erythromycin lactobionate and (S)-2'-O-[N-(1-methoxycarbonyl-3-guanidinopropyl)succinamoyl] erythromycin required no protection and were prepared in an otherwise similar fashion by mixed anhydride activation of 2'-O-(3-carboxypropanoyl) erythromycin, followed by treatment with the appropriate alkylamine. Sodium 2'-O-[N-(2-sulfonatoethyl)succinamoyl] erythromycin, disodium 2'-O-[N-(1-carboxylato-2-sulfonatoethyl)succinamoyl] erythromycin and trisodium 2'-O-[N-(1-carboxylato-2-phosphonatoethyl)succinamoyl] erythromycin were prepared in a different fashion by treatment of activated 2'-O-(3-carboxypropanoyl) erythromycin with the appropriate amino acid in a Schotten-Baumann related procedure. The aqueous solubilities of derivs. in 0.1 M phosphate buffer are reported along with some preliminary stability information.

AN   115:72090 CA

TI   Approaches to novel water-soluble prodrugs of erythromycin A. Synthesis of 2'-O-(N-alkylsuccinamoyl)erythromycin derivatives incorporating anionic and cationic groups

AU   Ackland, Mark J.; Atkins, Paul J.; Jones, Norman B.

CS   Upjohn Lab.-UK, Upjohn Ltd., Crawley/West Sussex, RH10 2NJ, UK

DT   Journal

LA   English

L4   ANSWER 5 OF 9 CA COPYRIGHT 2004 ACS on STN

AB   Human proinsulin C-peptide was synthesized by the solid-phase method. The product was purified consecutively by gel filtration, DEAE-cellulose chromatog., and high-performance liquid chromatog. (HPLC). The purified material behaved as a single component in reversed-phase HPLC, gave correct amino acid ratios, and was not distinguished from natural human C-peptide in terms of immunoreactivity and chromatog. behaviors. The $\alpha \rightarrow \beta$ transpeptidation at the Asp-Leu sequence, possible to occur associated with the HF cleavage, was studied using model peptides to demonstrate that the formation of β-peptide was 3-4% regardless of whether the β-carboxylic acid is free or protected as a benzyl ester.

AN   96:52660 CA

TI   A synthesis of human proinsulin C-peptide

AU   Igano, Kenichi; Minotani, Yuriko; Yoshida, Nobuo; Kono, Masao; Inouye, Ken

CS   Shionogi Res. Lab., Shionogi Co., Ltd., Osaka, 553, Japan

DT   Journal

LA   English

L4   ANSWER 6 OF 9 CA COPYRIGHT 2004 ACS on STN

GI

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$$\text{BOCNH} - \begin{array}{c} O \\ \| \\ \diagdown \\ N - X - NH - \\ \| \\ O \end{array} \quad \text{naphthyl}$$

I
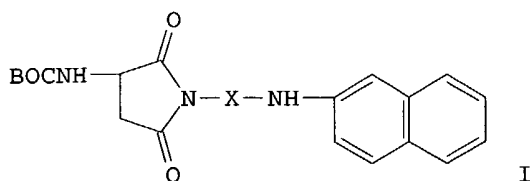
AB Peptides containing aspartic acid β-phenacyl ester residues,which do not
    cyclize under conditions of acidolysis, underwent ring closure to the
    corresponding succinimido derivs. under basic conditions. When the Cl+
    salt of BOC-Asp-Gly-NHNA (BOC = Me3CO2C, NA = β-naphthyl) was
    esterified with BrCH2COPh, succinimido peptide I (X = Gly) was obtained by
    cyclization of BOC-Asp(OCH2COPh)-Gly-NHNA. BOC-Asp(OCH2COPh)-Val-NHNA was
    also prepared and cyclized to I (X = Val) under basic conditions.
AN 89:129915 CA
TI Side reactions in peptide synthesis. 8. On the phenacyl group in the
    protection of the β-carboxyl function of aspartyl residues
AU Bodanszky, Miklos; Martinez, Jean
CS Dep. Chem., Case Western Reserve Univ., Cleveland, OH, USA
SO Journal of Organic Chemistry (1978), 43(15), 3071-3
    CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English

L4 ANSWER 7 OF 9 CA COPYRIGHT 2004 ACS on STN
AB The 1,5-diazabicyclo[4.3.0]non-5-ene and/or 1,8-diazabicyclo[5.4.0]undec-7-
    ene salts of Me3CO2C-X-OH [X = Gly, Ala, Val, Ile, Tyr(CH2Ph),
    Arg(SO2C6H4Me-p), Gly-Ile, Trp-Gly], PhCH2O2C-Leu-Ala-OH,
    PhCH2O2C-Val-Phe-Gly-OH, and p-(O2N)C6H4CH2O2C-Y(OCH2C6H4NO2-p)-OH (Y =
    Asp, Glu) were esterified to chloromethylated styrene-divinylbenzene
    polymer in 59-100% yields at 50° for 28 h. The above procedure for
    the incorporation of N-protected peptides onto the resin did not cause
    racemization.
AN 87:102642 CA
TI An improved attachment of N-protected amino acid and peptide to
    chloromethylated polystyrene-divinylbenzene resin
AU Suzuki, Kenji; Endo, Nobuyoshi
CS Tohoku Coll. Pharm., Sendai, Japan
SO Chemical & Pharmaceutical Bulletin (1977), 25(5), 1143-6
    CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English

L4 ANSWER 8 OF 9 CA COPYRIGHT 2004 ACS on STN
AB Different published observations of the authors (CA 56, 12153f; 58, 3645e)
    on the separation of carnitine (I) from possible precursors of I, including
    4-aminobutyric acid (II) and some of its hydroxylated and N-methylated
    derivs., have been reviewed and discussed. In ion-exchange chromatography
    a satisfactory separation of II from I could not be obtained on a Dowex 50
    resin using HCl as eluant because methylation of amino group increases the
    nonionic adsorption to the resin and, furthermore, the methylated amino
    group would be expected to be less hydrated than the unsubstituted amino
    group, as a consequence of which the smaller ions would be held more
    firmly to the resin by ionic force. Hence an ion-exchange resin with
    another polarity of the skeleton such as a sulfonated phenolic resin, e.g.
    Duolite C 3 had been recommended. Thin-layer chromatography has been
    found to be very convenient to use for a rapid semiquant. determination of the
    emerging compds. and for their identification.
AN 63:89255 CA

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L4   ANSWER 9 OF 9   CA   COPYRIGHT 2004 ACS on STN

AB   A mixture of 60 g. p-toluene-sulfonic acid monohydrate, 40 g. L-aspartic
       acid, and 100 mL. benzyl alc. was refluxed with stirring for 18 h. H2O
       formed was removed through a Dean-Stark separator. Cooling in ice gave
       74% dibenzyl L-aspartate p-toluenesulfonate (I), m. 157-8° (aqueous
       EtOH), [α]25D 1° (EtOH). Similarly prepared were dibenzyl
       L-glutamate p-toluenesulfonate (88%), m. 139.5-41.5° (H2O);
       γ-benzyl L-glutamate p-toluenesulfonate, m. 147-53°
       (EtOH-Et2O); L-leucine benzyl ester p-toluenesulfonate (62%), m.
       211.5-12.5° (H2O). I (100 g.) in 500 mL. Et2O shaken with 45 g.
       cold Na2CO3 and 100 mL. H2O gave 88% dibenzyl L-aspartate (II), oil; HCl
       salt (60%) m. 129.5-30° (MeOH-Et2O), [α]25D 1°
       (EtOH). Likewise prepared were: dibenzyl L-glutamate HCl salt, m.
       99-100°, [α]25D 9° (HCl), and γ-benzyl
       L-glutamate (43%), m. 162-4°, [α]25D 19° (AcOH). II
       on long standing deposited 3,6-bis(benzyloxycarbonyl)-2,5-piperazinedione,
       m. 157-8° (EtOH). L-Aspartic acid (66.5 g.), 500 mL. benzyl alc.,
       and 50 mL. concentrated H2SO4 was kept 20 h. at room temperature and then
       basified
       with 200 mL. C5H5N in 1 l. EtOH. The precipitate was filtered off to give 63%
       β-benzyl L-aspartate, m. 211.5-12.5° (decomposition) (aqueous C5H5N),
       [α]25D 27° (HCl). Freshly prepared II (9.4 g.), 50 mL. Et2O,
       1.9 g. Na2CO3, and 4.5 mL. H2O were stirred with dropwise addition of
       β-carbomethoxypropionyl chloride in 50 mL. Et2O for 30 min. at
       15-17°. After addnl. stirring for 30 min., the Et2O layer was
       separated, dried (Na2SO4), and concentrated to give 71% N-(β-carbomethoxy-
       propionyl)-L-aspartic acid dibenzyl ester, m. 57-8° (petr. ether).
       Similarly prepared was 90% N-(β-carbobenzyloxypropionyl)-L-aspartic
       acid dibenzyl ester (III), m. 70-1.5°. II (28.8 g.), 9 g. succinic
       anhydride, and 300 mL. CHCl3 heated 30 min. gave 96% N-(β-
       carboxypropionyl)-L-aspartic acid dibenzyl ester (IV), m. 97-8°
       (CCl4). III and IV on catalytic hydrogenation sep. gave
       N-(β-carboxypropionyl)-L-aspartic acid, oil; solid tri-Me ester;
       tris(p-bromophenacyl) ester m. 138-9° (decomposition) (EtOH); triamide
       m. 220.5-21° (decomposition) (H2O). II (4.85 g.), 2.3 g. phthalic
       anhydride, 0.5 mL. Et3N, and 100 mL. PhMe were heated for 3.5 h. to give
       6.3 g. dibenzyl N-phthaloyl-L-aspartate, oil, which on catalytic
       hydrogenation gave 3.7 g. N-phthaloyl-L-aspartic acid, m. 223-6°
       (H2O), [α]25D -39° (EtOH). Use of HCONMe2 or AcOH gave the
       DL-ester. Similarly prepared were: 68.5% N-phthaloyl-L-glutamic acid, m.
       158-60° (H2O), [α]25D -45° (EtOH); 38%
       N-phthaloyl-L-leucine, m. 119-21° (aqueous MeOH), [α]25D
       -24° (EtOH); and 92.5% di-Et N-phthaloyl-L-aspartate, [α]25D
       -45° (EtOH).

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LA   Unavailable
OS   CASREACT 60:9998